

REMARKS

The claims are 1-26. Claims 1-3 and 7 have been amended to correct certain typographical errors on the part of the applicants. The errors, and any inconvenience caused thereby, are sincerely regretted.

As the court noted in *Helfgott & Karas P.C. v. Dickinson*, 54 USPQ2d 1425, 1426 (Fed. Cir. 2000): "Mistakes are inevitable, much as all those involved try to minimize their possibility. Even if total elimination of mistakes is an illusory goal, their reasonable mitigation should not be."

Accordingly, the next office action -- preferably a notice of allowance -- is awaited.

To the extent necessary, applicant(s) petition for an Extension of Time under 37 CFR 1.136. Please charge any shortage in fees due in connection with the filing of this paper, including Extension of Time fees to Deposit Account No. 11-0345. Please credit any excess fees to such deposit account.

Respectfully submitted,

KEIL & WEINKAUF

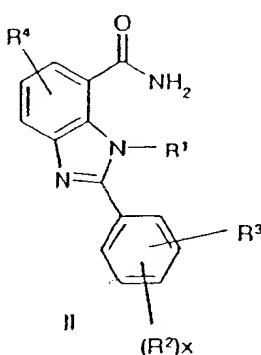
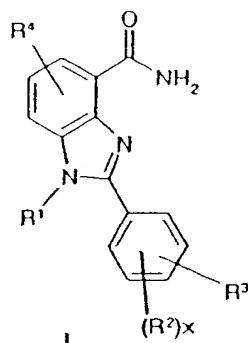


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VERSION WITH MARKINGS TO SHOW CHANGES MADE IN THE CLAIMS
Please amend claims 1, 2, 3, and 7 as follows:

1. (thrice amended) A compound of the formula I or II



in which

R¹ is hydrogen, or branched and unbranched C₁-C₆-alkyl, it also being possible for one C atom of the alkyl radical to carry OR¹¹ or a group R⁵, where R¹¹ is hydrogen or C₁-C₄-alkyl, and

R² is hydrogen, chlorine, bromine, iodine, fluorine, CF₃, nitro, NHCOR²¹, NR²²R²³, OH, O-C₁-C₄-alkyl, O-C₁-C₄-alkylphenyl, NH₂, [CH, a straight or branched C₁ to C₂-alkyl] CN, a straight or branched C₁-C₆-alkyl, OR²¹ or phenyl, it also being possible for the phenyl rings to be substituted by at most two radicals R²⁴, and R²¹ and R²² independently of one another are hydrogen or C₁-C₄-alkyl and R²³ is hydrogen, C₁-C₄-alkyl or phenyl, and R²⁴ is OH, C₁-C₆-alkyl, O-C₁-C₄-alkyl, chlorine, bromine, iodine, fluorine, CF₃, nitro or NH₂, and

x may be 0, 1 or 2 and

R³ is -D-(F¹)_p-(E)_q-(F²)_r-G, where p, q and r may not simultaneously be 0, or is -

E-(D)_u-(F²)_s-(G)_v, it also being possible for the radical E to be substituted by one or two radicals A, and if v = 0, E is imidazole, pyrrole, pyridine, pyrimidine, piperazine, pyrazine, pyrrolidine or piperidine, or R³ is B and R⁴ is hydrogen, chlorine, fluorine, bromine, iodine, branched and unbranched C₁-C₆-alkyl, OH, nitro, CF₃, CN, NR⁴¹R⁴², NH-CO-R⁴³, or O-C₁-C₄-alkyl, where R⁴¹ and R⁴² independently of one another are hydrogen or C₁-C₄-alkyl and R⁴³ is hydrogen, C₁-C₄-alkyl, C₁-C₄-alkylphenyl or phenyl, and D is S or O

E is phenyl, imidazole, pyrrole, thiophene, pyridine, pyrimidine, piperazine, pyrazine, furan, thiazole, isoxazole, pyrrolidine, piperidine, or trihydroazepine and

F¹ is a chain of 1 to 8 carbon atoms, it also being possible for one carbon atom of the chain to carry an OH or O-C₁-C₄-alkyl group and

F² is a chain of 1 to 8 carbon atoms, it also being possible for one carbon atom of the chain to carry an OH or O-C₁-C₄-alkyl group and

p may be 0 or 1

q may be 0 or 1, and

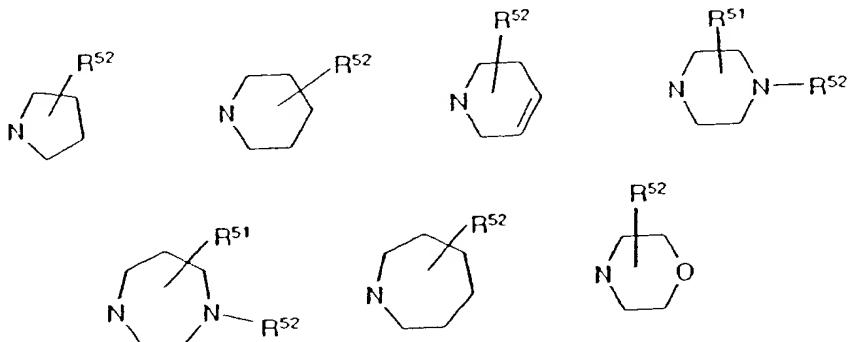
r may be 0 or 1 and

s may be 0 or 1

u may be 0 or 1

v may be 0 or 1

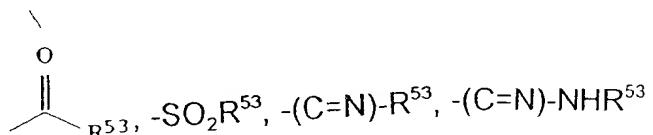
G may be $\text{NR}^{51}\text{R}^{52}$ or



and

R^{51} is hydrogen or branched and unbranched $\text{C}_1\text{-C}_6$ -alkyl, or $(\text{CH}_2)_l\text{-K}$ and

R^{52} is hydrogen, branched and unbranched $\text{C}_1\text{-C}_6$ -alkyl, phenyl, -



in which

R^{53} may be branched or unbranched $\text{O-C}_1\text{-C}_6$ -alkyl, phenyl, or branched or

unbranched $\text{C}_1\text{-C}_4$ -alkylphenyl, where in the case of R^{52} and R^{53} ,

independently of one another, one hydrogen of the $\text{C}_1\text{-C}_6$ -alkyl radical may

be substituted by one of the following radicals: OH, $\text{O-C}_1\text{-C}_4$ -alkyl, cyclohexyl,

cyclopentyl, tetrahydronaphthyl, cyclopropyl, cyclobutyl, cycloheptyl, naphthyl

and phenyl, it also being possible for the carbocycles of the radicals R^{52} and

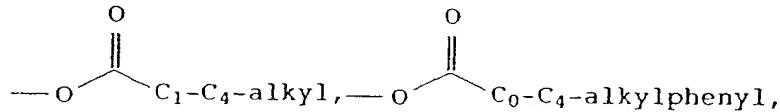
R^{53} independently of one another to carry one or two of the following radicals:

branched or unbranched $\text{C}_1\text{-C}_6$ -alkyl, branched or unbranched $\text{O-C}_1\text{-C}_4$ -alkyl,

OH, F, Cl, Br, I, CF_3 , NO_2 , NH_2 , CN, COOH, $\text{COOC}_1\text{-C}_4$ -alkyl, $\text{C}_1\text{-C}_4$ -

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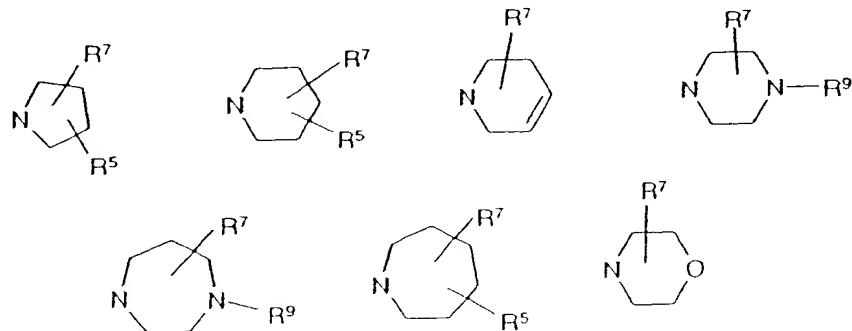
alkylamino, CCl_3 , $\text{C}_1\text{-C}_4$ -dialkylamino, $\text{SO}_2\text{-C}_1\text{-C}_4$ -alkyl, SO_2phenyl , CONH_2 , $\text{CONH-C}_1\text{-C}_4\text{-alkyl}$, CONHphenyl , $\text{CONH-C}_1\text{-C}_4\text{-alkylphenyl}$, $\text{NHSO}_2\text{-C}_1\text{-C}_4$ -alkyl, $\text{NHSO}_2\text{phenyl}$, $\text{S-C}_1\text{-C}_4\text{-alkyl}$,



CHO , $\text{CH}_2\text{-O-C}_1\text{-C}_4\text{-alkyl}$, $-\text{CH}_2\text{O-C}_1\text{-C}_4\text{-alkylphenyl}$, $-\text{CH}_2\text{OH}$, $-\text{SO-C}_1\text{-C}_4$ -alkyl, $-\text{SO-C}_1\text{-C}_4\text{-alkylphenyl}$, $-\text{SO}_2\text{NH}_2$, $-\text{SO}_2\text{NH-C}_1\text{-C}_4\text{-alkyl}$

or two radicals form a bridge $-\text{O}(\text{CH}_2)_{1,2}\text{-O-}$,

B may be



and

A may be hydrogen, chlorine, bromine, iodine, fluorine, CF_3 , nitro, OH , $\text{O-C}_1\text{-C}_4$ -alkyl, $\text{O-C}_1\text{-C}_4\text{-alkylphenyl}$, NH_2 , branched and unbranched $\text{C}_1\text{-C}_6$ -alkyl,

CN , or NH-CO-R^{33} , where R^{33} is hydrogen, $\text{C}_1\text{-C}_4$ -alkyl or phenyl and

t is 0,1,2,3, or 4 and

K is a phenyl optionally having at most two substituents on the ring, R^{k1} and/or

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R^{k2} are any of the radicals defined for R^{41} and R^{42} , respectively, or $NH-C_1-$

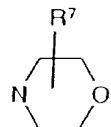
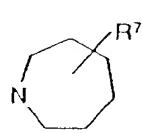
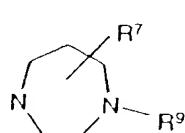
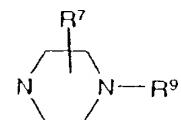
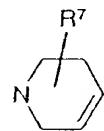
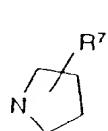
C_4 -alkylphenyl, pyrrolidine, piperidine, 1,2, 5, 6-tetrahydropyridine,

morpholine, trihydroazepine, piperazine, which may also be substituted by

an alkyl radical C_1-C_6 -alkyl, or homopiperazine, which may also be

substituted by an alkyl radical C_1-C_6 -alkyl, and

R^5 may be hydrogen, C_1-C_6 -alkyl, or $[NR_7R_9] NR^7R^9$ and



and

R^7 is hydrogen, C_1-C_6 -alkyl, C_1-C_4 -alkylphenyl, or phenyl, it also being possible

for the rings to be substituted by up to two radicals R^{71} , and

R^{71} is OH , C_1-C_6 -alkyl, $O-C_1-C_4$ -alkyl, chlorine, bromine, iodine, fluorine, CF_3 ,

nitro, or NH_2 , and

R^8 is hydrogen, C_1-C_6 -alkyl, phenyl, or C_1-C_4 -alkylphenyl, it also being possible

for the ring to be substituted by up to two radicals R^{81} , and

R^{81} is OH , C_1-C_6 -alkyl, $O-C_1-C_4$ -alkyl, chlorine, bromine, iodine, fluorine, CF_3 ,

nitro, or NH_2 and

R^9 is hydrogen, $COCH_3$, $CO-O-C_1-C_4$ -alkyl, $COCF_3$, branched and unbranched

C₁-C₆-alkyl, it being possible for one or two hydrogens of the C₁-C₆-alkyl radical to be substituted in each case by one of the following radicals: OH, O-C₁-C₄-alkyl and phenyl, and for the phenyl ring also to carry one or two of the following radicals: iodine, chlorine, bromine, fluorine, branched and unbranched C₁-C₆-alkyl, nitro, amino, C₁-C₄-alkylamino, C₁-C₄-dialkylamino, OH, O-C₁-C₄-alkyl, CN, CF₃, or SO₂-C₁-C₄-alkyl, or a tautomeric form, a possible enantiomeric or disasteriomeric form, a prodrug or pharmacologically tolerated salt thereof.

2. (thrice amended) A compound of the formula I or II as claimed in claim 1 in which

R¹ is hydrogen, branched and unbranched C₁-C₆-alkyl, it also being possible for one C atom of the alkyl radical to carry OR¹¹ or a group R⁵, where

R¹¹ is hydrogen or C₁-C₄-alkyl, and

R² is hydrogen, chlorine, fluorine, bromine, iodine, branched and unbranched C₁-C₆-alkyl, nitro, CF₃, CN, NR²²R²³, NH-CO-R²¹, OR²¹, where

R²¹ and R²² are, independently of one another, hydrogen or C₁-C₄-alkyl, and

R²³ is hydrogen, C₁-C₄-alkyl or phenyl, and

R³ is -O-(CH₂)₀-(CHR³¹)_m-(CH₂)_n-G, where

R³¹ is hydrogen, C₁-C₄-alkyl, OH and O-C₁-C₄-alkyl,

m,0 are, independently of one another, 0, 1 or 2, and

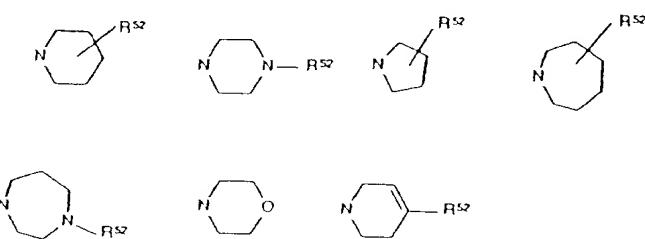
n is 1, 2, 3 or 4 and

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R^4 is hydrogen, branched and unbranched C_1-C_6 -alkyl, chlorine, bromine, fluorine, nitro, cyano, $[NR^{41}R^{42} NH-CO-R^{43} OR^{41}]$ NR^{41} , R^{42} , $NH-CO-R^{43}$, and OR^{41} where

R^{41} and R^{42} are, independently of one another, hydrogen or C_1-C_4 -alkyl, and
 R^{43} is C_1-C_4 -alkyl or phenyl, and

G is $NR^{51}R^{52}$ or one of the following radicals



where

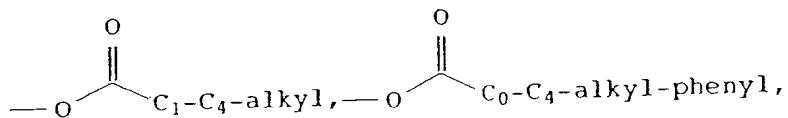
R^{51} is hydrogen and branched and unbranched C_1-C_6 -alkyl, and

R^{52} is hydrogen, branched and unbranched C_1-C_6 -alkyl phenyl,

R^{53} is branched or unbranched $O-C_1-C_6$ -alkyl, phenyl, branched or unbranched C_1-C_4 -alkyl-phenyl, where one hydrogen in the C_1-C_6 -alkyl radical in R^{52} and R^{53} are, independently of one another, optionally substituted by one of the following radicals: $[OB]$ OH , $O-C_1-C_4$ -alkyl, cyclohexyl, cyclopentyl, tetrahydronaphthyl, cyclopropyl, cyclobutyl, cycloheptyl, naphthyl and phenyl, where the carbocycles of the R^{52} and R^{53} radicals may also, independently of

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one another, carry one or two of the following radicals: branched or unbranched C₁-C₆-alkyl, branched or unbranched O-C₁-C₄-alkyl, OH, F, [C1] Cl, Br, I, CF₃, NO₂, NH₂, CN, COOH, COOC₁-C₄-alkyl, C₁-C₄-alkylamino, [CC1₃] CCl₃, C₁-C₄-dialkylamino, SO₂-C₁-C₄-alkyl, SO₂phenyl, CONH₂, CONH-C₁-C₄-alkyl, CONHphenyl, CONH-C₁-C₄-alkyl-phenyl, NSO₂-C₁-C₄-alkyl, [NBSO₂phenyl] NHSO₂phenyl, S-C₁-C₄-alkyl,



CHO, CH₂-O-C₁-C₄-alkyl, -CH₂O-C₁-C₄-alkyl-phenyl, -CH₂OH, -SO-C₁-C₄-alkyl, -SO-C₁-C₄-alkyl-phenyl, SO₂NH₂, -SO₂NH-C₁-C₄-alkyl and two radicals form a bridge -O-(CH₂)_{1,2}-O-,

or a tautomeric form, a possible enantiomeric or disasteriomic form, a prodrug or pharmacologically tolerated salt thereof.

3. (thrice amended) A compound of the formula I or II as claimed in claim 1 in which

R¹ is hydrogen, branched and unbranched C₁-C₆-alkyl, it also being possible for one C atom of the alkyl radical to carry OR¹¹ or a group R⁵, where

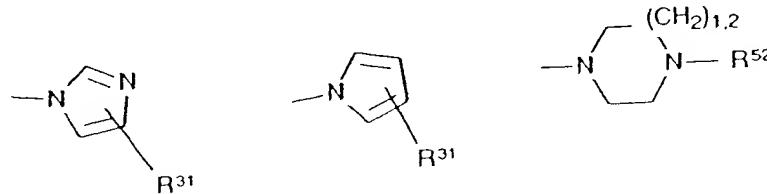
R¹¹ is hydrogen or C₁-C₄-alkyl, and

R² is hydrogen, chlorine, fluorine, bromine, iodine, branched and unbranched C₁-C₆-alkyl, nitro, CF₃, CN, NR²²R²³, NH-CO-R²¹, OR²¹, where R²¹ and R²² independently of one another are hydrogen or

C₁-C₄-alkyl and

R²³ is hydrogen, C₁-C₄-alkyl or phenyl

R³ is



and

R³¹ is hydrogen, CHO and [-(CH₂)_o-(CHR³²)_m-(CH₂)_n-R⁵] -(CH₂)_o-(CHR³²)_m-(CH₂)_n-G, where R³² is hydrogen, C₁-C₄-alkyl, OH and O-C₁-C₄-alkyl, m, o

independently of one another are 0, 1 or 2 and n is 1, 2, 3 or 4, and

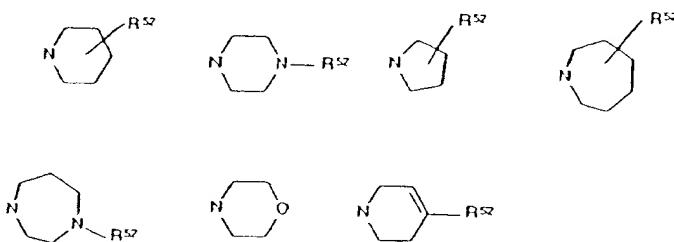
R⁴ is hydrogen, branched and unbranched C₁-C₆-alkyl, chlorine, bromine, fluorine, nitro, cyano, [NR⁴¹R⁴² NH-CO-R⁴³] NR⁴¹, R⁴², NH-CO-R⁴³, OR⁴¹,

where

R⁴¹ and R⁴² independently of one another are hydrogen or C₁-C₄-alkyl and

R⁴³ is C₁-C₄-alkyl or phenyl, and

G is NR⁵¹R⁵² or one of the radicals below



where

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R⁵¹ is hydrogen and branched and unbranched and C₁-C₆-alkyl and

R⁵² is hydrogen, COCH₃, CO-O-C₁-C₄-alkyl, COCF₃, branched and unbranched

C₁-C₆-alkyl, it being possible for one hydrogen of the C₁-C₆-alkyl radical to

be substituted by one of the following radicals: OH, O-C₁-C₄-alkyl and phenyl

and for the phenyl ring also to carry one or two of the following radicals:

chlorine, bromine, fluorine, branched and unbranched C₁-C₄-alkyl, nitro,

amino, C₁-C₄-alkylamino, C₁-C₄-dialkylamino, OH, O-C₁-C₄-alkyl, CN, SO₂-

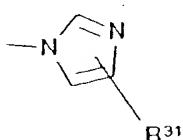
C₁-C₄-alkyl,

or a tautomeric form, a possible enantiomeric or disasteriomic form, a prodrug or

pharmacologically tolerated salt thereof.

7. (twice amended) A compound as claimed in claim 1 where

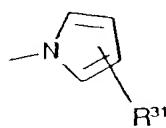
(i) for R³ being



R³¹ is hydrogen or -(CH₂)_p-G, where

p is 1 or 2 and

(ii) for R³ being



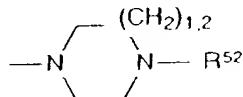
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R^{31} is hydrogen or $-(CH_2)_p-R^5$, where

p is 1 or 2 and

$[R^{52}$ may be hydrogen, branched and unbranched C_1-C_6 -alkyl, where one hydrogen of the C_1-C_6 -alkyl radical may be substituted by one of the following radicals: OH, $O-C_1-C_4$ -alkyl and phenyl, and where the phenyl ring may also carry one or two of the following radicals: chlorine, bromine, fluorine, branched and unbranched C_1-C_4 -alkyl, nitro, amino, C_1-C_4 -alkylamino, C_1-C_4 -dialkylamino, OH, $O-C_1-C_4$ -alkyl, CN, $SO_2-C_1-C_4$ -alkyl];

and (iii) for R^3 being



[nitro, amino, C_1-C_4 -alkylamino, C_1-C_4 -dialkylamino, OH, $O-C_1-C_4$ -alkyl, CN, $SO_2-C_1-C_4$ -alkyl.]

R^{52} may be hydrogen, branched and unbranched C_1-C_6 -alkyl, where one hydrogen of the C_1-C_6 -alkyl radical may be substituted by one of the following radicals: OH, $O-C_1-C_4$ -alkyl and phenyl, and where the phenyl ring may also carry one or two of the following radicals: chlorine, bromine, fluorine, branched and unbranched C_1-C_4 -alkyl, nitro, amino, C_1-C_4 -alkylamino, C_1-C_4 -dialkylamino, OH, $O-C_1-C_4$ -alkyl, CN, $SO_2-C_1-C_4$ -alkyl;

where R^{52} is hydrogen, branched and unbranched C_1-C_6 -alkyl, where one hydrogen of the C_1-C_6 -alkyl radical may be substituted by one of the following radicals: OH, $O-C_1-C_4$ -alkyl and phenyl, and where the phenyl ring may also carry one or two of

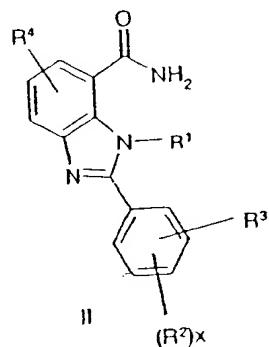
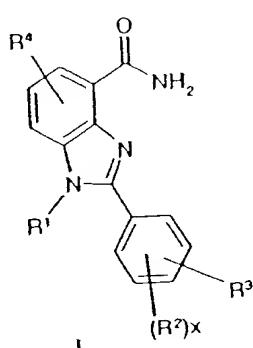
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the following radicals: chlorine, bromine, fluorine, branched and unbranched C₁-C₄-alkyl,

nitro, amino, C₁-C₄-alkylamino, C₁-C₄-dialkylamino, OH, O-C₁-C₄-alkyl, CN, SO₂-C₁-C₄-alkyl.

COPY OF ALL CLAIMS

1. A compound of the formula I or II



in which

R^1 is hydrogen, or branched and unbranched C_1 - C_6 -alkyl, it also being possible for one C atom of the alkyl radical to carry OR^{11} or a group R^5 , where R^{11} is hydrogen or C_1 - C_4 -alkyl, and

R^2 is hydrogen, chlorine, bromine, iodine, fluorine, CF_3 , nitro, $NHCOR^{21}$, $NR^{22}R^{23}$, OH, $O-C_1-C_4$ -alkyl, $O-C_1-C_4$ -alkylphenyl, NH_2 , CN, a straight or branched $C_1 - C_6$ -alkyl, OR²¹ or phenyl, it also being possible for the phenyl rings to be substituted by at most two radicals R²⁴, and R²¹ and R²² independently of one another are hydrogen or C_1-C_4 -alkyl and R²³ is

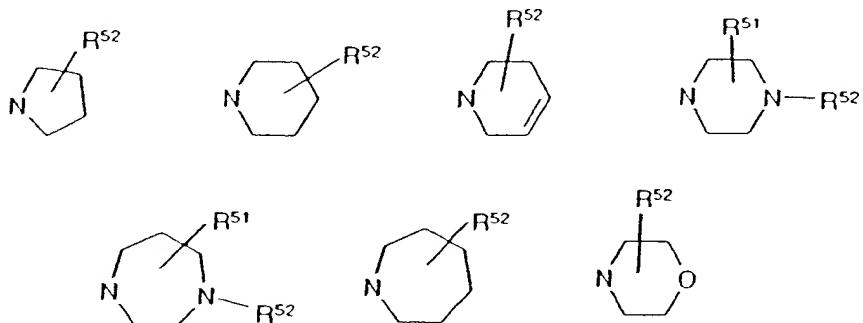
x may be 0, 1 or 2 and

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R^3 is $-D-(F^1)_p-(E)_q-(F^2)_r-G$, where p , q and r may not simultaneously be 0, or is $-E-(D)_u-(F^2)_s-(G)_v$, it also being possible for the radical E to be substituted by one or two radicals A , and if $v = 0$, E is imidazole, pyrrole, pyridine, pyrimidine, piperazine, pyrazine, pyrrolidine or piperidine, or R^3 is B and R^4 is hydrogen, chlorine, fluorine, bromine, iodine, branched and unbranched C_1-C_6 -alkyl, OH, nitro, CF_3 , CN, $NR^{41}R^{42}$, $NH-CO-R^{43}$, or $O-C_1-C_4$ -alkyl, where R^{41} and R^{42} independently of one another are hydrogen or C_1-C_4 -alkyl and R^{43} is hydrogen, C_1-C_4 -alkyl, C_1-C_4 -alkylphenyl or phenyl, and D is S or O E is phenyl, imidazole, pyrrole, thiophene, pyridine, pyrimidine, piperazine, pyrazine, furan, thiazole, isoxazole, pyrrolidine, piperidine, or trihydroazepine and F^1 is a chain of 1 to 8 carbon atoms, it also being possible for one carbon atom of the chain to carry an OH or $O-C_1-C_4$ -alkyl group and F^2 is a chain of 1 to 8 carbon atoms, it also being possible for one carbon atom of the chain to carry an OH or $O-C_1-C_4$ -alkyl group and p may be 0 or 1 q may be 0 or 1, and r may be 0 or 1 and s may be 0 or 1 u may be 0 or 1

v may be 0 or 1

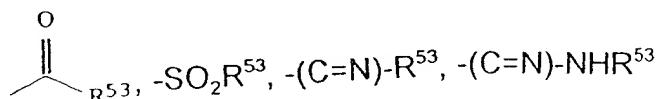
G may be $\text{NR}^{51}\text{R}^{52}$ or



and

R^{51} is hydrogen or branched and unbranched $\text{C}_1\text{-C}_6$ -alkyl, or $(\text{CH}_2)_i\text{-K}$ and

R^{52} is hydrogen, branched and unbranched $\text{C}_1\text{-C}_6$ -alkyl, phenyl,

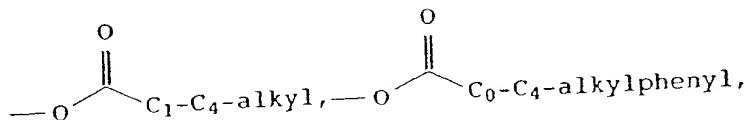


in which

R^{53} may be branched or unbranched $\text{O-C}_1\text{-C}_6$ -alkyl, phenyl, or branched or unbranched $\text{C}_1\text{-C}_4$ -alkylphenyl, where in the case of R^{52} and R^{53} , independently of one another, one hydrogen of the $\text{C}_1\text{-C}_6$ -alkyl radical may be substituted by one of the following radicals: OH, $\text{O-C}_1\text{-C}_4$ -alkyl, cyclohexyl, cyclopentyl, tetrahydronaphthyl, cyclopropyl, cyclobutyl, cycloheptyl, naphthyl and phenyl, it also being possible for the carbocycles of the radicals R^{52} and R^{53} independently of one another to carry one or two of the following radicals: branched or unbranched $\text{C}_1\text{-C}_6$ -alkyl, branched or unbranched $\text{O-C}_1\text{-C}_4$ -alkyl,

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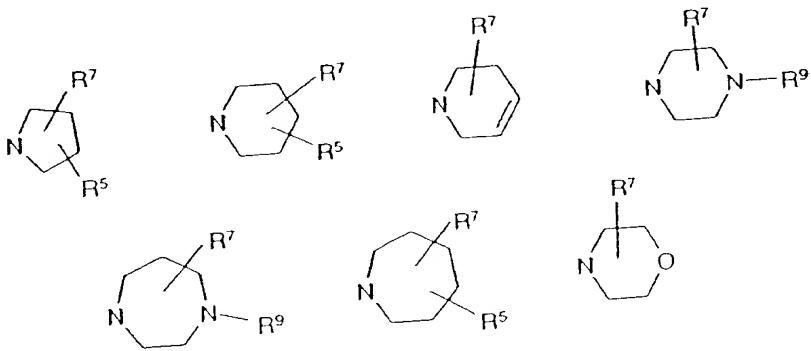
OH, F, Cl, Br, I, CF₃, NO₂, NH₂, CN, COOH, COOC₁-C₄-alkyl, C₁-C₄-alkylamino, CCl₃, C₁-C₄-dialkylamino, SO₂-C₁-C₄-alkyl, SO₂phenyl, CONH₂, CONH-C₁-C₄-alkyl, CONHphenyl, CONH-C₁-C₄-alkylphenyl, NSO₂-C₁-C₄-alkyl, NSO₂phenyl, S-C₁-C₄-alkyl,



CHO, CH₂-O-C₁-C₄-alkyl, -CH₂O-C₁-C₄-alkylphenyl, -CH₂OH, -SO-C₁-C₄-alkyl, -SO₂NH₂, -SO₂NH-C₁-C₄-alkyl

or two radicals form a bridge -O-(CH₂)_{1,2}-O-,

B may be



and

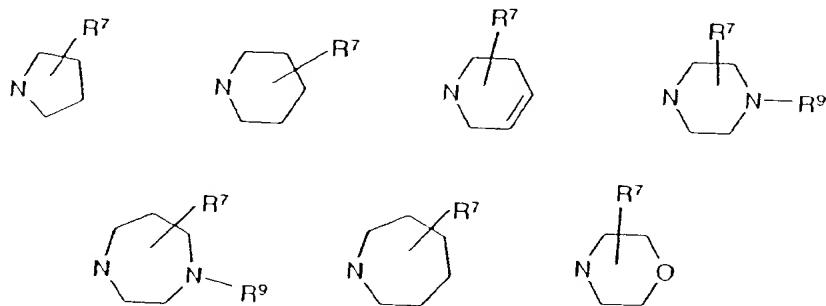
A may be hydrogen, chlorine, bromine, iodine, fluorine, CF₃, nitro, OH, O-C₁-C₄-alkyl, O-C₁-C₄-alkylphenyl, NH₂, branched and unbranched C₁-C₆-alkyl, CN, or NH-CO-R³³, where R³³ is hydrogen, C₁-C₄-alkyl or phenyl and

t is 0,1,2,3, or 4 and

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K is a phenyl optionally having at most two substituents on the ring, R^{k1} and/or R^{k2} are any of the radicals defined for R⁴¹ and R⁴², respectively, or NH-C₁-C₄-alkylphenyl, pyrrolidine, piperidine, 1,2,5,6-tetrahydropyridine, morpholine, trihydroazepine, piperazine, which may also be substituted by an alkyl radical C₁-C₆-alkyl, or homopiperazine, which may also be substituted by an alkyl radical C₁-C₆-alkyl, and

R⁵ may be hydrogen, C₁-C₆-alkyl, or NR⁷R⁹ and



and

R⁷ is hydrogen, C₁-C₆-alkyl, C₁-C₄-alkylphenyl, or phenyl, it also being possible for the rings to be substituted by up to two radicals R⁷¹, and

R⁷¹ is OH, C₁-C₆-alkyl, O-C₁-C₄-alkyl, chlorine, bromine, iodine, fluorine, CF₃, nitro, or NH₂, and

R⁸ is hydrogen, C₁-C₆-alkyl, phenyl, or C₁-C₄-alkylphenyl, it also being possible for the ring to be substituted by up to two radicals R⁸¹, and

R⁸¹ is OH, C₁-C₆-alkyl, O-C₁-C₄-alkyl, chlorine, bromine, iodine, fluorine, CF₃,

nitro, or NH₂ and

R⁹ is hydrogen, COCH₃, CO-O-C₁-C₄-alkyl, COCF₃, branched and unbranched C₁-C₆-alkyl, it being possible for one or two hydrogens of the C₁-C₆-alkyl radical to be substituted in each case by one of the following radicals: OH, O-C₁-C₄-alkyl and phenyl, and for the phenyl ring also to carry one or two of the following radicals: iodine, chlorine, bromine, fluorine, branched and unbranched C₁-C₆-alkyl, nitro, amino, C₁-C₄-alkylamino, C₁-C₄-dialkylamino, OH, O-C₁-C₄-alkyl, CN, CF₃, or SO₂-C₁-C₄-alkyl, or a tautomeric form, a possible enantiomeric or disasteriomeric form, a prodrug or pharmacologically tolerated salt thereof.

2. A compound of the formula I or II as claimed in claim 1 in which

R¹ is hydrogen, branched and unbranched C₁-C₆-alkyl, it also being possible for one C atom of the alkyl radical to carry OR¹¹ or a group R⁵, where

R¹¹ is hydrogen or C₁-C₄-alkyl, and

R² is hydrogen, chlorine, fluorine, bromine, iodine, branched and unbranched C₁-C₆-alkyl, nitro, CF₃, CN, NR²²R²³, NH-CO-R²¹, OR²¹, where

R²¹ and R²² are, independently of one another, hydrogen or C₁-C₄-alkyl, and

R²³ is hydrogen, C₁-C₄-alkyl or phenyl, and

R³ is -O-(CH₂)_o-(CHR³¹)_m-(CH₂)_n-G, where

R³¹ is hydrogen, C₁-C₄-alkyl, OH and O-C₁-C₄-alkyl,

m,o are, independently of one another, 0, 1 or 2, and

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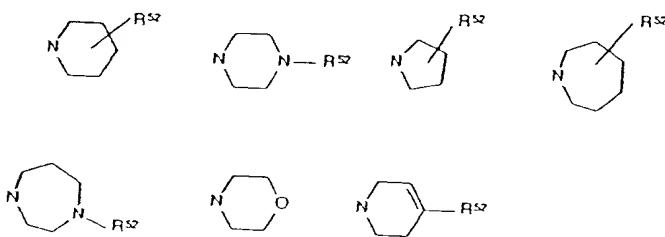
n is 1, 2, 3 or 4 and

R⁴ is hydrogen, branched and unbranched C₁-C₆-alkyl, chlorine, bromine, fluorine, nitro, cyano, NR⁴¹, R⁴², NH-CO-R⁴³, OR⁴¹ where

R⁴¹ and R⁴² are, independently of one another, hydrogen or C₁-C₄-alkyl, and

R⁴³ is C₁-C₄-alkyl or phenyl, and

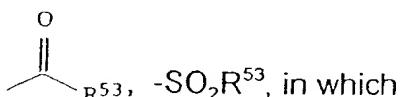
G is NR⁵¹R⁵² or one of the following radicals



where

R⁵¹ is hydrogen and branched and unbranched C₁-C₆-alkyl, and

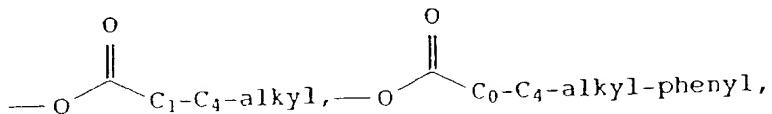
R⁵² is hydrogen, branched and unbranched C₁-C₆-alkyl phenyl,



R⁵³ is branched or unbranched O-C₁-C₆-alkyl, phenyl, branched or unbranched C₁-C₄-alkyl-phenyl, where one hydrogen in the C₁-C₆-alkyl radical in R⁵² and R⁵³ are, independently of one another, optionally substituted by one of the following radicals: OH, O-C₁-C₄-alkyl, cyclohexyl, cyclopentyl, tetrahydronaphthyl, cyclopropyl, cyclobutyl, cycloheptyl, naphthyl and phenyl,

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where the carbocycles of the R⁵² and R⁵³ radicals may also, independently of one another, carry one or two of the following radicals: branched or unbranched C₁-C₆-alkyl, branched or unbranched O-C₁-C₄-alkyl, OH, F, Cl, Br, I, CF₃, NO₂, NH₂, CN, COOH, COOC₁-C₄-alkyl, C₁-C₄-alkylamino, CCl₃, C₁-C₄-dialkylamino, SO₂-C₁-C₄-alkyl, SO₂phenyl, CONH₂, CONH-C₁-C₄-alkyl, CONHphenyl, CONH-C₁-C₄-alkyl-phenyl, NSO₂-C₁-C₄-alkyl, NSO₂phenyl, S-C₁-C₄-alkyl,



CHO, CH₂-O-C₁-C₄-alkyl, -CH₂O-C₁-C₄-alkyl-phenyl, -CH₂OH, -SO-C₁-C₄-alkyl, -SO-C₁-C₄-alkyl-phenyl, SO₂NH₂, -SO₂NH-C₁-C₄-alkyl and two radicals form a bridge -O-(CH₂)_{1,2}-O-,

or a tautomeric form, a possible enantiomeric or disasteriomic form, a prodrug or pharmacologically tolerated salt thereof.

3. A compound of the formula I or II as claimed in claim 1 in which
 - R¹ is hydrogen, branched and unbranched C₁-C₆-alkyl, it also being possible for one C atom of the alkyl radical to carry OR¹¹ or a group R⁵, where
 - R¹¹ is hydrogen or C₁-C₄-alkyl, and
 - R² is hydrogen, chlorine, fluorine, bromine, iodine, branched and unbranched C₁-C₆-alkyl, nitro, CF₃, CN, NR²²R²³, NH-CO-R²¹, OR²¹, where

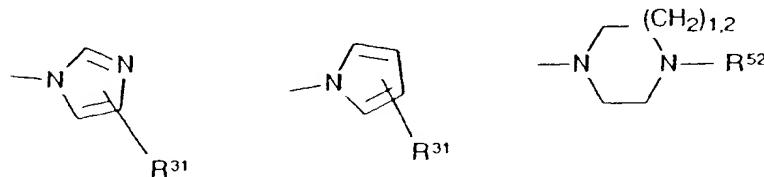
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R^{21} and R^{22} independently of one another are hydrogen or

C_1 - C_4 -alkyl and

R^{23} is hydrogen, C_1 - C_4 alkyl or phenyl

R^3 is



and

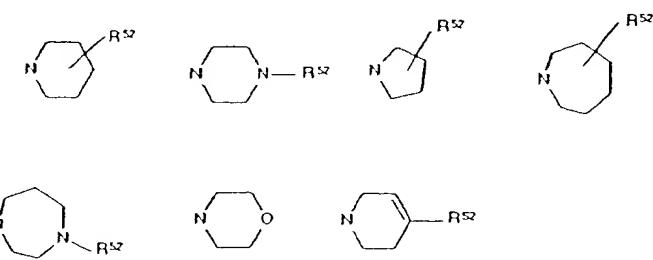
R^{31} is hydrogen, CHO and $-(CH_2)_o-(CHR^{32})_m-(CH_2)_n-G$, where R^{32} is hydrogen, C_1 - C_4 -alkyl, OH and O- C_1 - C_4 -alkyl, m, o independently of one another are 0, 1 or 2 and n is 1, 2, 3 or 4, and

R^4 is hydrogen, branched and unbranched C_1 - C_6 -alkyl, chlorine, bromine, fluorine, nitro, cyano, NR^{41} , R^{42} , $NH-CO-R^{43}$, OR^{41} , where

R^{41} and R^{42} independently of one another are hydrogen or C_1 - C_4 -alkyl and

R^{43} is C_1 - C_4 -alkyl or phenyl, and

G is $NR^{51}R^{52}$ or one of the radicals below



where

R^{51} is hydrogen and branched and unbranched and C_1 - C_6 -alkyl and

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R^{52} is hydrogen, $COCH_3$, $CO-O-C_1-C_4$ -alkyl, $COCF_3$, branched and unbranched C_1-C_6 -alkyl, it being possible for one hydrogen of the C_1-C_6 -alkyl radical to be substituted by one of the following radicals: OH, $O-C_1-C_4$ -alkyl and phenyl and for the phenyl ring also to carry one or two of the following radicals: chlorine, bromine, fluorine, branched and unbranched C_1-C_4 -alkyl, nitro, amino, C_1-C_4 -alkylamino, C_1-C_4 -dialkylamino, OH, $O-C_1-C_4$ -alkyl, CN, $SO_2-C_1-C_4$ -alkyl,

or a tautomeric form, a possible enantiomeric or disasteriomic form, a prodrug or pharmacologically tolerated salt thereof.

4. A compound as claimed in claim 1, where R^2 is in position 3 and R^3 is in position 4 or R^2 is in position 4 and R^3 is in position 3 relative to the benzimidazole ring.

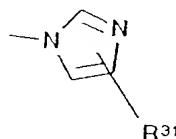
5. A compound as claimed in claim 1, where R^1 and R^4 are hydrogen.

6. A compound as claimed in claim 1, where

R^2 is hydrogen, branched or unbranched C_1-C_6 -alkyl, nitro, CN, NH_2 , $O-C_1-C_4$ -alkyl.

7. A compound as claimed in claim 1 where

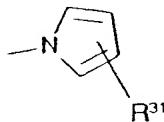
(i) for R^3 being



R^{31} is hydrogen or $-(CH_2)_p-G$, where

p is 1 or 2 and

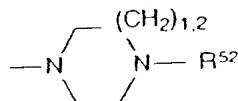
(ii) for R³ being



R³¹ is hydrogen or -(CH₂)_p-R⁵, where

p is 1 or 2 and

and (iii) for R³ being



R⁵² may be hydrogen, branched and unbranched C₁-C₆-alkyl, where one hydrogen of the C₁-C₆-alkyl radical may be substituted by one of the following radicals: OH,

O-C₁-C₄-alkyl and phenyl, and where the phenyl ring may also carry one or two of the following radicals: chlorine, bromine, fluorine, branched and unbranched C₁-C₄-alkyl,

nitro, amino, C₁-C₄-alkylamino, C₁-C₄- dialkylamino, OH, O-C₁-C₄-alkyl, CN, SO₂-C₁-C₄-alkyl;

where R⁵² is hydrogen, branched and unbranched C₁-C₆-alkyl, where one hydrogen of the C₁-C₆-alkyl radical may be substituted by one of the following radicals: OH, O-C₁-C₄-alkyl and phenyl, and where the phenyl ring may also carry one or two of the following radicals: chlorine, bromine, fluorine, branched and unbranched C₁-C₄-

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alkyl,

nitro, amino, C₁-C₄-alkylamino, C₁-C₄-dialkylamino, OH, O-C₁-C₄-alkyl, CN, SO₂-C₁-C₄-alkyl.

8. A compound as claimed in claim 1, where R³ is -O-(CH₂)_p-G with p equal to 2, 3 or 4.
9. A compound as claimed in claim 1, where R⁵ is a 6-membered ring and R⁵² is an optionally substituted phenyl ring.
10. A drug comprising besides conventional vehicles and ancillary substances a compound as claimed in claim 1.
11. A method for treating a disorder in which pathologically elevated PARP activities occur, said method comprising administering an effective amount of a compound of the formula I as claimed in claim 1 to a mammal suffering from said disorder.
12. The use of compounds of the formula I as claimed in claim 11 wherein the disorder is a neurodegenerative disease or involves neuronal damage.
13. The method as claimed in claim 12, wherein the neurodegenerative disease or neuronal damage is induced by ischemia, trauma or massive bleeding.
14. The method as claimed in claim 11 wherein the disorder is stroke or craniocerebral trauma.
15. The method as claimed in claim 11 wherein the disorder is Alzheimer's disease and Huntington's disease.
16. The method as claimed in claim 11 wherein the disorder is damage due to

ischemia.

17. The method as claimed in claim 11 wherein the disorder is epilepsy.
18. The method as claimed in claim 11 wherein the disorder is damage to the kidneys after renal ischemia, damage caused by drug therapy or damage resulting after kidney transplants.
19. The method as claimed in claim 11 wherein the disorder is damage to the heart after cardiac ischemia.
20. The method as claimed in claim 11 wherein the disorder is a microinfarcts.
21. The method as claimed in claim 11 wherein the disorder is under vascularization of critically narrowed coronary arteries.
22. The method as claimed in claim 11 wherein the disorder is an acute myocardial infarct and damage during an after medical or mechanical lysis thereof.
23. The method as claimed in claim 11 wherein the disorder is a tumor or metastasis I thereof.
24. The method as claimed in claim 11 wherein the disorder is sepsis of multi-organ failure.
25. The method as claimed in claim 11 wherein the disorder is an immunological disease.
26. The method as claimed in claim 11 wherein the disorder is diabetes mellitus.

Claim 7 lacks antecedent basis from claim 1 because of the definitions of R³ and R³¹ and its definitions, etc. {e.g. R³ is either “-D-(F¹)_p-(E)-_q-(F²)_r-G” or “-E-(D)_u-(F²)_s-(G)_v” in claim 1}.

Claim 8 lacks antecedent basis from claim 1 because of the definition of R³ (e.g., p is 0 or 1 in claim 1).

Conclusion

Applicant's amendment necessitated the new ground(s) of rejection presented in this Office action. Accordingly, **THIS ACTION IS MADE FINAL**. See MPEP § 706.07(a). Applicant is reminded of the extension of time policy as set forth in 37 CFR 1.136(a).

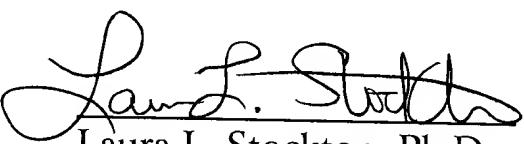
A shortened statutory period for reply to this final action is set to expire THREE MONTHS from the mailing date of this action. In the event a first reply is filed within TWO MONTHS of the mailing date of this final action and the advisory action is not mailed until after the end of the THREE-MONTH shortened statutory period, then the shortened statutory period will expire on the date the advisory action is mailed, and

any extension fee pursuant to 37 CFR 1.136(a) will be calculated from the mailing date of the advisory action. In no event, however, will the statutory period for reply expire later than SIX MONTHS from the date of this final action.

Any inquiry concerning this communication or earlier communications from the examiner should be directed to Laura L. Stockton whose telephone number is (703) 308-1875. The examiner can normally be reached on Monday-Friday from 6:00 am to 2:30 pm. If the examiner is out of the Office, the examiner's supervisor, Joseph McKane, can be reached on (703) 308-4537.

Any inquiry of a general nature or relating to the status of this application should be directed to the Group receptionist whose telephone number is (703) 308-1235.

The fax phone number for the organization where this application or proceeding is assigned is (703) 308-4556.



Laura L. Stockton, Ph.D.
Patent Examiner
Art Unit 1626, Group 1620
Technology Center 1600

November 29, 2002